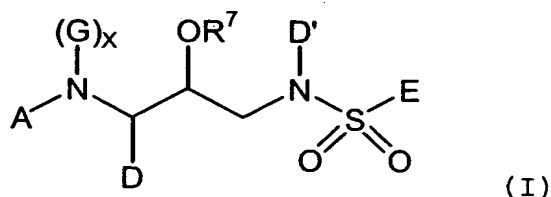


CLAIMS

We claim:

1. A compound of the formula (I):



and pharmaceutically acceptable salts thereof;
wherein:

- A is selected from H; Ht; $-\text{R}^1\text{-Ht}$; $-\text{R}^1\text{-C}_1\text{-C}_6$ alkyl, which is optionally substituted with one or more groups independently selected from hydroxy, $-\text{CN}$, $\text{C}_1\text{-C}_4$ alkoxy, Ht, $-\text{O-Ht}$, $-\text{NR}^2\text{-Ht}$, $-\text{NR}^2\text{-CO-N(R}^2)_2$, $-\text{SO}_2\text{-N(R}^2)_2$, $-\text{SO}_2\text{-R}^2$ or $-\text{CO-N(R}^2)_2$; $-\text{R}^1\text{-C}_2\text{-C}_6$ alkenyl, which is optionally substituted with one or more groups independently selected from hydroxy, $\text{C}_1\text{-C}_4$ alkoxy, Ht, $-\text{O-Ht}$, $-\text{NR}^2\text{-CO-N(R}^2)_2$ or $-\text{CO-N(R}^2)_2$; or R^7 ;

- each R^1 is independently selected from $-\text{C(O)-}$, $-\text{S(O)}_2\text{-}$, $-\text{C(O)-C(O)-}$, $-\text{O-C(O)-}$, $-\text{O-S(O)}_2\text{-}$, $-\text{NR}^2\text{-}$, $-\text{NR}^2\text{-S(O)}_2\text{-}$, $-\text{NR}^2\text{-C(O)-}$ or $-\text{NR}^2\text{-C(O)-C(O)-}$;

- each Ht is independently selected from $\text{C}_3\text{-C}_7$ cycloalkyl; $\text{C}_5\text{-C}_7$ cycloalkenyl; $\text{C}_6\text{-C}_{14}$ aryl; or a 5-7 membered saturated or unsaturated heterocycle, containing one or more heteroatoms selected from N, $\text{N(R}^2)$, O, S and S(O)_n ; wherein said aryl or said heterocycle is optionally fused to Q; and wherein any member of said Ht is optionally substituted with one or more substituents independently selected from oxo, $-\text{OR}^2$, SR^2 , $-\text{R}^2$, $-\text{N(R}^2)(\text{R}^2)$, $-\text{R}^2\text{-OH}$, $-\text{CN}$, $-\text{CO}_2\text{R}^2$, $-\text{C(O)-N(R}^2)_2$, $-\text{S(O)}_2\text{-N(R}^2)_2$,

$-N(R^2)-C(O)-R^2$, $-N(R^2)-C(O)O-R^2$, $-C(O)-R^2$, $-S(O)_n-R^2$, $-OCF_3$,
 $-S(O)_n-Q$, methylenedioxy, $-N(R^2)-S(O)_2(R^2)$, halo, $-CF_3$,
 $-NO_2$, Q , $-OQ$, $-OR^7$, $-SR^7$, $-R^7$, $-N(R^2)(R^7)$ or $-N(R^7)_2$;

each R^2 is independently selected from H, or C_1-C_4
5 alkyl optionally substituted with a 3-7 membered
saturated, partially saturated or unsaturated carbocyclic
ring system; or a 5-7 membered saturated, partially
saturated or unsaturated heterocyclic ring containing one
or more heteroatoms selected from O, N, S, $S(O)_n$ or
10 $N(R^{33})$; wherein any of said ring systems or $N(R^{33})$ is
optionally substituted with 1 to 4 substituents
independently selected from $-X'-Y'$, $-O$ -arylalkyl,
 $-S$ -arylalkyl, $-N(Y')_2$, $-N(H)$ -arylalkyl, $-N(C_1-C_4$
alkyl)-arylalkyl, oxo, $-O-(C_1-C_4$ alkyl), OH, C_1-C_4 alkyl,
15 $-SO_2H$, $-SO_2-(C_1-C_4$ alkyl), $-SO_2-NH_2$, $-SO_2-NH(C_1-C_4$ alkyl),
 $-SO_2-N(C_1-C_4$ alkyl) $_2$, $-NH_2$, $-NH(C_1-C_4$ alkyl), $-N(C_1-C_4$
alkyl) $_2$, $-NH-C(O)H$, $-N(C_1-C_4$ alkyl)- $C(O)H$, $-NH-C(O)-C_1-C_4$
alkyl, $-C_1-C_4$ alkyl-OH, -OH, -CN, $-C(O)OH$, $-C(O)O-C_1-C_4$
alkyl, $-C(O)-NH_2$, $-C(O)-NH(C_1-C_4$ alkyl), $-C(O)-N(C_1-C_4$
20 alkyl) $_2$, halo or $-CF_3$;

X' is $-O-$, $-S-$, $-NH-$, $-NHC(O)-$, $-NHC(O)O-$, $-NHSO_2-$,
or $-N(C_1-C_4)alkyl-$;

Y' is C_1-C_{15} alkyl, C_2-C_{15} alkenyl or alkynyl, wherein
one to five carbon atoms in Y are optionally substituted
25 with C_3-C_7 cycloalkyl or C_5-C_6 cycloalkenyl, C_6-C_{14} aryl or
a 5-7 membered saturated or unsaturated heterocycle,
containing one or more heteroatoms selected from N, NH,
O, S and $S(O)_n$;

each R^3 is independently selected from H, Ht, C_1-C_6
30 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl or
 C_5-C_6 cycloalkenyl; wherein any member of said R^3 , except
H, is optionally substituted with one or more

substituents selected from $-OR^2$, $-C(O)-N(R^2)_2$,
 $-S(O)_n-N(R^2)_2$, $-N(R^2)_2$, $-N(R^2)-C(O)O(R^2)$, $-N(R^2)-C(O)N(R^2)_2$,
 $-N(R^2)-C(O)-R^2$, Ht, $-CN$, $-SR^2$, $-C(O)OR^2$, $N(R^2)-C(O)-R^2$;

each R^{33} is selected from H, C_1-C_6 alkyl, C_2-C_6
5 alkenyl, C_2-C_6 alkynyl, C_3-C_6 cycloalkyl or C_5-C_6
cycloalkenyl, C_6-C_{14} aryl or a 5-7 membered saturated or
unsaturated heterocycle, containing one or more
heteroatoms selected from N, NH, O, S and $S(O)_n$;

each n is independently 1 or 2;

10 G, when present, is selected from H, R^7 or C_1-C_4
alkyl, or, when G is C_1-C_4 alkyl, G and R^7 are bound to one
another either directly or through a C_1-C_3 linker to form
a heterocyclic ring; or

when G is not present (i.e., when x in $(G)_x$ is 0),
15 then the nitrogen to which G is attached is bound
directly to the R^7 group in $-OR^7$ with the concomitant
displacement of one $-ZM$ group from R^7 ;

D is selected from C_1-C_6 alkyl which is substituted
with Q, which is optionally substituted with one or more
20 groups selected from C_3-C_6 cycloalkyl, $-R^3$, $-O-Q$ or Q;
 C_2-C_4 alkenyl which is substituted with Q, which is
optionally substituted with one or more groups selected
from $-OR^2$, $-S-Ht$, $-R^3$, $-O-Q$ or Q; C_3-C_6 cycloalkyl, which
is optionally substituted with or fused to Q; or C_5-C_6
25 cycloalkenyl, which is optionally substituted with or
fused to Q;

each Q is independently selected from a 3-7 membered
saturated, partially saturated or unsaturated carbocyclic
ring system; or a 5-7 membered saturated, partially
30 saturated or unsaturated heterocyclic ring containing one
or more heteroatoms selected from O, N, S, $S(O)_n$ or $N(R^2)$;
wherein Q contains one substituent selected from $-OR^2$, -

OR⁸, -O-arylalkyl, -SR⁸, -S-arylalkyl, -N(R²)R⁸, -
N(R²)-arylalkyl and may be optionally substituted with one
or more additional substituents independently selected
from oxo, -OR⁸, -O-arylalkyl -SR⁸, -S-arylalkyl, -N(R²)R⁸,
5 -N(R²)-arylalkyl, -OR², -R², -SO₂R², -SO₂-N(R²)₂, -N(R²)₂,
-N(R²)-C(O)-R², -OH, (C₁-C₄)-OH, -CN, -CO₂R², -C(O)-N(R²)₂,
halo or -CF₃;

each R⁸ is independently selected from Ht, -C₁-C₁₅
branched or straight chain alkyl, alkenyl or alkynyl
10 wherein one to five carbon atoms in said alkyl, alkenyl
or alkynyl are independently replaced by W, or wherein
one to five carbon atoms in said alkyl, alkenyl or
alkynyl are substituted with Ht; and wherein R⁸ is
additionally and optionally substituted with one or more
15 groups independently selected from -OH, -S(C₁-C₆ alkyl), -
CN, -CF₃, -N(R²)₂, halo, -C₁-C₄-alkyl, -C₁-C₄-alkoxy; -Ht;
-O-Ht; -NR²-CO-N(R²)₂; -CO-N(R²)₂; -R¹-C₂-C₆ alkenyl, which
is optionally substituted with one or more groups
independently selected from hydroxy, C₁-C₄ alkoxy, Ht,
20 -O-Ht, -NR²-CO-N(R²)₂ or -CO-N(R²)₂; or R⁷;

wherein W is -O-, -NR²-, -S-, -C(O)-, -C(S)-,
-C(=NR²)-, -S(O)₂-, -NR²-S(O)₂-, -S(O)₂-NR²-, -NR²-C(O)O-, -
O-C(O)NR²-, -NR²-C(O)NR²-, -NR²-C(S)NR²-, -CONR², -NR²C(O)-,
-C(S)NR², -NR²C(S)-, -NR²-C(=N-CN)-NR²-, -NR²C(=N-CN)O- or
25 -C(O)O-;

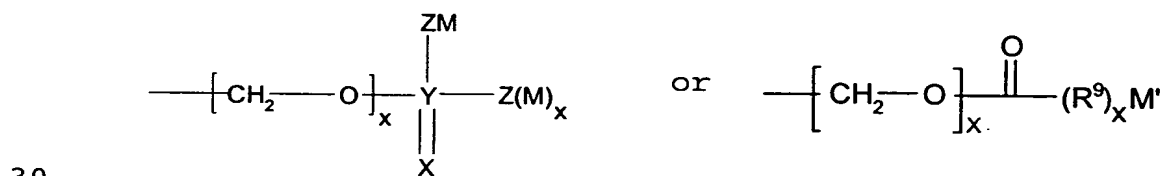
D' is selected from C₁-C₁₅ alkyl, C₁-C₁₅ alkoxy, C₂-C₁₅
alkenyl, C₂-C₁₅ alkenyloxy, C₂-C₁₅ alkynyl, or C₂-C₁₅
alkynyloxy, wherein D' optionally comprises one or more
substituents independently selected from Ht, oxo, halo,
30 -CF₃, -OCF₃, -NO₂, azido, -SH, -SR³, -N(R³)-N(R³)₂,
-O-N(R³)₂, -(R³)N-O-(R³), -N(R³)₂, -CN, -CO₂R³, -C(O)-N(R³)₂,
-S(O)_n-N(R³)₂, -N(R³)-C(O)-R³, -N(R³)-C(O)-N(R³)₂, -C(O)-R³,

$-S(O)_n-R^3$, $-N(R^3)-S(O)_n(R^3)$, $-N(R^3)-S(O)_n-N(R^3)_2$,
 $-S-NR^3-C(O)R^3$, $-C(S)N(R^3)_2$, $-C(S)R^3$, $-NR^3-C(O)OR^3$,
 $-O-C(O)OR^3$, $-O-C(O)N(R^3)_2$, $-NR^3-C(S)R^3$, $=N-OH$, $=N-OR^3$,
 $=N-N(R^3)_2$, $=NR^3$, $=NNR^3C(O)N(R^3)_2$, $=NNR^3C(O)OR^3$,
5 $=NNR^3S(O)_n-N(R^3)_2$, $-NR^3-C(S)OR^3$, $-NR^3-C(S)N(R^3)_2$,
 $-NR^3-C[=N(R^3)]-N(R^3)_2$, $-N(R^3)-C[=N-NO_2]-N(R^3)_2$,
 $-N(R^3)-C[=N-NO_2]-OR^3$, $-OC(O)R^3$, $-OC(S)R^3$, $-OC(O)N(R^3)_2$,
 $-C(O)N(R^3)-N(R^3)_2$, $-N(R^3)-N(R^3)C(O)R^3$, $-N(R^3)-OC(O)R^3$,
 $-N(R^3)-OC(O)R^3$, $-N(R^3)-OC(O)R^3$, $-OC(S)N(R^3)_2$,
10 $-OC(S)N(R^3)(R^3)$, or $-PO_3-R^3$;

E is selected from Ht; O-Ht; Ht-Ht; Ht fused with
Ht; $-O-R^3$; $-N(R^2)(R^3)$; $-N(R^2)-Ht$; C_1-C_6 alkyl, which is
optionally substituted with one or more groups selected
from R^4 or Ht; C_2-C_6 alkenyl, which is optionally
15 substituted with one or more groups selected from R^4 or
Ht; C_3-C_6 saturated carbocycle, which is optionally
substituted with one or more groups selected from R^4 or
Ht; or C_5-C_6 unsaturated carbocycle, which is optionally
substituted with one or more groups selected from R^4 or
20 Ht;

each R^4 is independently selected from $-R^2$, $-OR^2$,
 $-OR^3$, $-SR^2$, $-SOR^2$, $-SO_2R^2$, $-CO_2R^2$, $-OC(O)-R^2$, $-C(O)-N(R^2)_2$,
 $-C(O)-NR^2(OR^2)$, $-S(O)_2-N(R^2)_2$, halo, $-NR^2-C(O)-R^2$, $-NR^2-OR^2$,
 $-N(R^2)_2$ or $-CN$;

25 each R^7 is independently selected from hydrogen,



wherein each M is independently selected

from H, Li, Na, K, Mg, Ca, Ba, $-N(R^2)_4$, C_1 - C_{12} -alkyl, C_2 - C_{12} -alkenyl, or $-R^6$; wherein 1 to 4 $-CH_2$ radicals of the alkyl or alkenyl group, other than the $-CH_2$ that is bound to Z, is optionally replaced by a heteroatom group
5 selected from O, S, $S(O)$, $S(O)_2$, or $N(R^2)$; and wherein any hydrogen in said alkyl, alkenyl or R^6 is optionally replaced with a substituent selected from oxo, $-C_1$ - C_4 alkyl, $-N(R^2)_2$, $-N(R^2)_3$, $-OH$, $-O-(C_1-C_4 \text{ alkyl})$, $-CN$, $-C(O)OR^2$, $-C(O)-N(R^2)_2$, $S(O)_2-N(R^2)_2$, $-N(R^2)-C(O)-R_2$,
10 $C(O)R^2$, $-S(O)_n-R^2$, $-OCF_3$, $-S(O)_n-R^6$, $-N(R^2)-S(O)_2(R^2)$, halo, $-CF_3$, or $-NO_2$;

M' is H, C_1 - C_{12} -alkyl, C_2 - C_{12} -alkenyl, or $-R^6$; wherein 1 to 4 $-CH_2$ radicals of the alkyl or alkenyl group is optionally replaced by a heteroatom group selected from
15 O, S, $S(O)$, $S(O)_2$, or $N(R^2)$; and wherein any hydrogen in said alkyl, alkenyl or R^6 is optionally replaced with a substituent selected from oxo, $-OR^2$, $-C_1$ - C_4 alkyl, $-N(R^2)_2$, $N(R^2)_3$, $-OH$, $-O-(C_1-C_4 \text{ alkyl})$, $-CN$, $-C(O)OR^2$, $-C(O)-N(R^2)_2$, $-S(O)_2-N(R^2)_2$, $-N(R^2)-C(O)-R_2$, $-C(O)R^2$, $-S(O)_n-R^2$, $-OCF_3$,
20 $-S(O)_n-R^6$, $-N(R^2)-S(O)_2(R^2)$, halo, $-CF_3$, or $-NO_2$;

x is 0 or 1;

Z is O, S, $N(R^2)_2$, or, when M is not present, H.

Y is P or S;

X is O or S; and

25 R^9 is $C(R^2)_2$, O or $N(R^2)$; and wherein when Y is S, Z is not S; and

R^6 is a 5-6 membered saturated, partially saturated or unsaturated carbocyclic or heterocyclic ring system, or an 8-10 membered saturated, partially saturated or
30 unsaturated bicyclic ring system; wherein any of said heterocyclic ring systems contains one or more heteroatoms selected from O, N, S, $S(O)_n$ or $N(R^2)$; and

wherein any of said ring systems optionally contains 1 to 4 substituents independently selected from -OH, -C₁-C₄ alkyl, -O-(C₁-C₄ alkyl) or -O-C(O)-(C₁-C₄ alkyl).

5 2. The compound according to claim 1, wherein R⁸ is -C₁-C₄-branched or straight chain alkyl, wherein one to two carbon atoms in said alkyl are independently replaced by W, wherein R⁸ is additionally and optionally substituted with one or more groups independently
10 selected from -OH; -C₁-C₄-alkoxy; -Ht; -O-Ht; -NR²-CO-N(R²)₂; -CO-N(R²)₂; -R¹-C₂-C₆ alkenyl, which is optionally substituted with one or more groups independently selected from hydroxy, C₁-C₄ alkoxy, Ht, -O-Ht, -NR²-CO-N(R²)₂ or -CO-N(R²)₂; or R⁷;
15 wherein W is -O-, -NR²-, -NR²-S(O)₂-, -NR²-C(O)O-, -O-C(O)NR²-, -NR²-C(O)NR²-, -NR²-C(S)NR²-, -NR²C(O)-, -C(=NR²)-, -C(O)NR²-, -NR²-C(=N-CN)-NR²-, -NR²C(=N-CN)O- or -C(O)O-; and

wherein Ht, R¹, R² and R⁷ are as defined in claim 1.

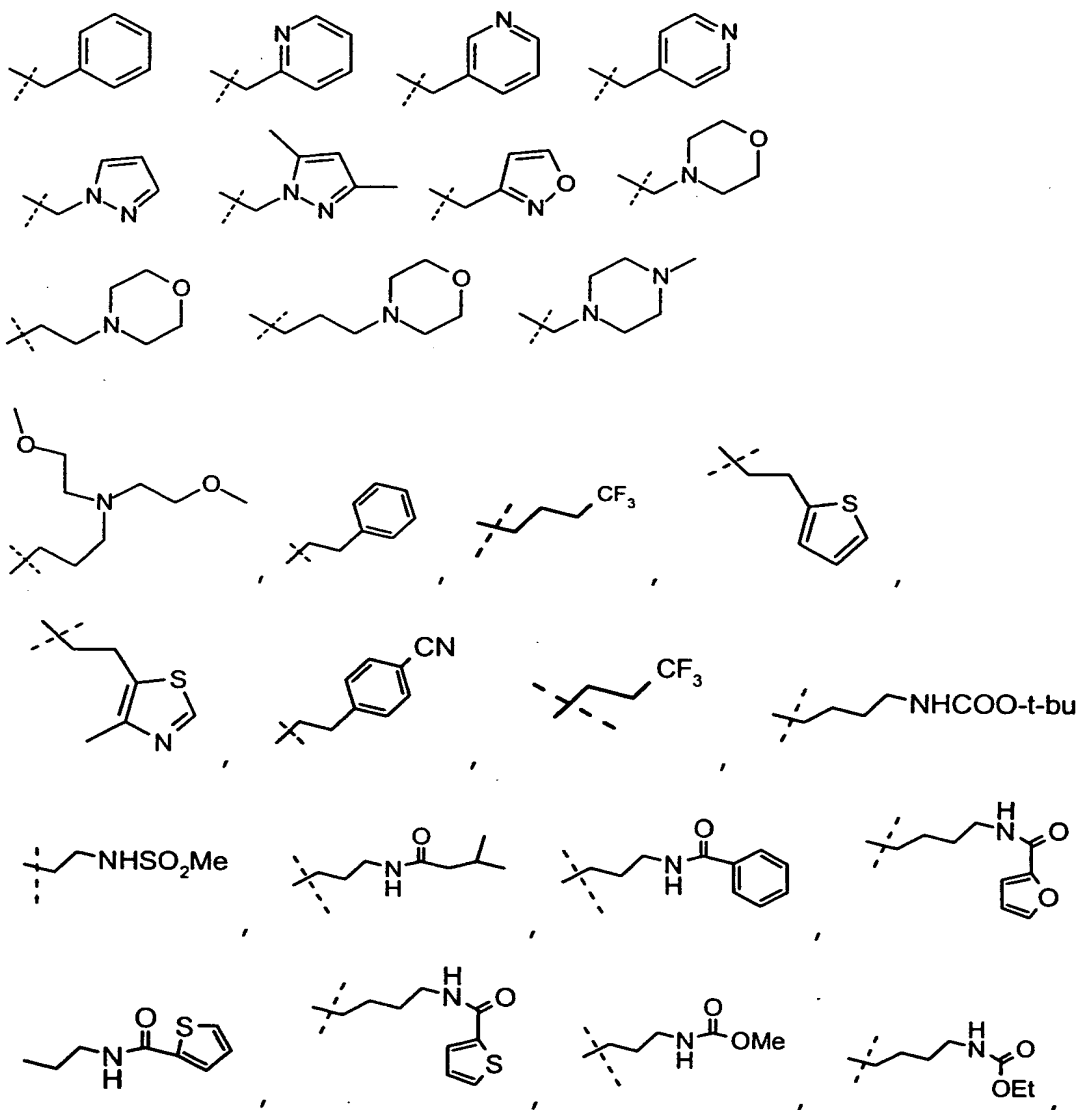
20

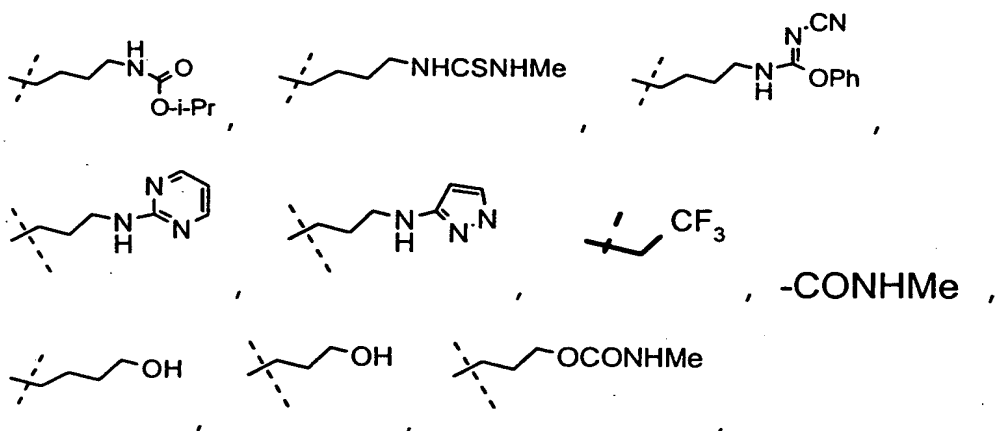
3. The compound according to claim 1, wherein R⁸ is a -C₁-C₄-branched or straight alkyl chain, wherein one to two carbon atoms are substituted with Ht;

wherein Ht is C₆₋₁₄ aryl or a 5-7 membered saturated
25 or unsaturated heterocycle, containing one or more heteroatoms selected from N, N(R²), O, S and S(O)_n, wherein any member of Ht is optionally substituted with one or more substituents independently selected from oxo, -OR², SR², -R², -N(R²)(R²), -R²-OH, -CN, -CO₂R²,
30 -C(O)-N(R²)₂, -S(O)₂-N(R²)₂, -N(R²)-C(O)-R², -N(R²)-C(O)O-R², -C(O)-R², -S(O)_n-R², -OCF₃, -S(O)_n-Q, methylenedioxy,

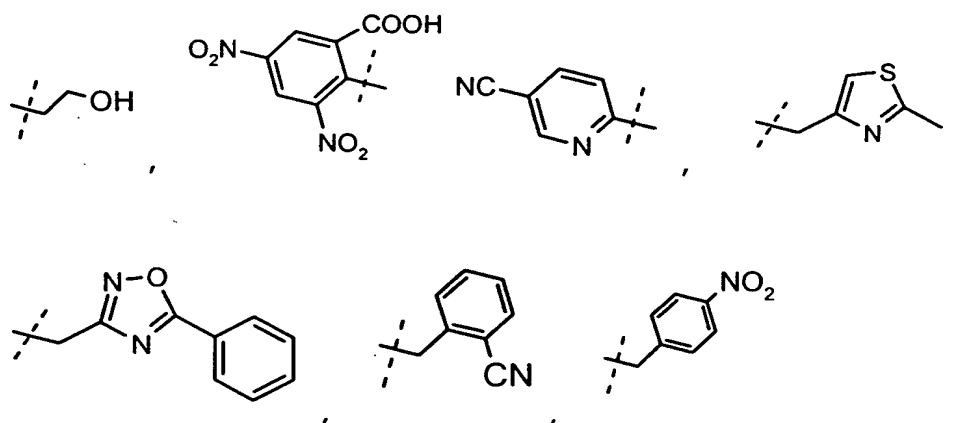
$-N(R^2)-S(O)_2(R^2)$, halo, $-CF_3$, $-NO_2$, Q, $-OQ$, $-OR^7$, $-SR^7$, $-R^7$, $-N(R^2)(R^7)$ or $-N(R^7)_2$;

4. The compound according to claim 1, wherein R^8 is
5 selected from:

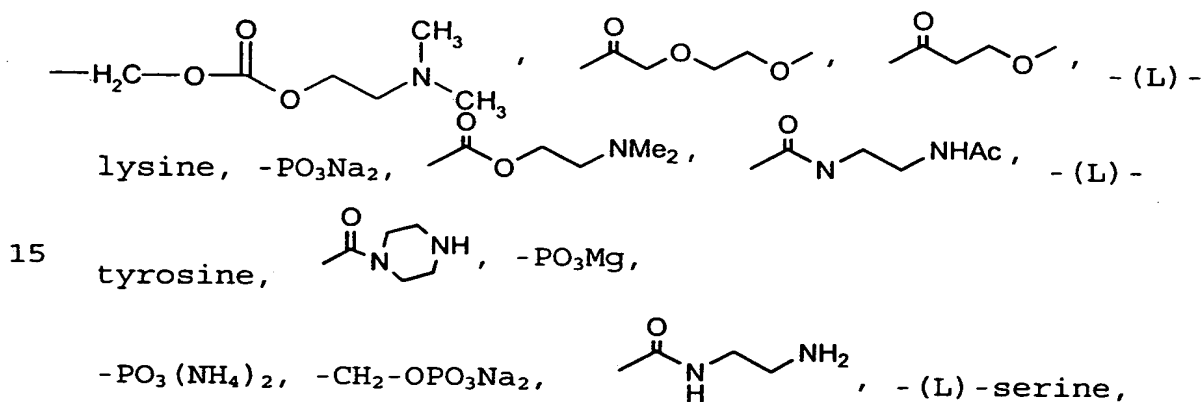


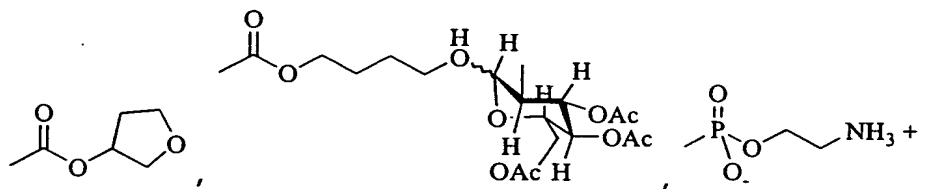
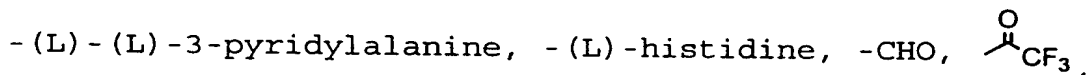
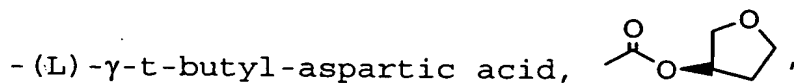
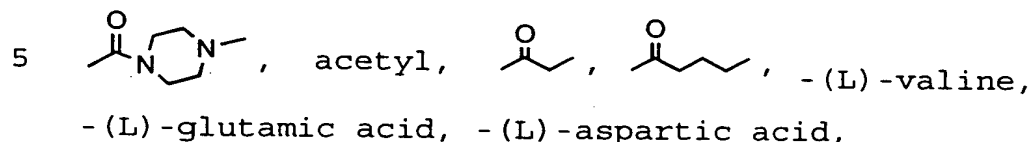
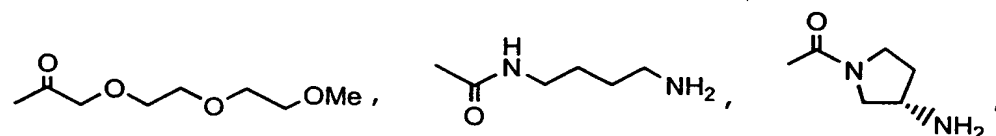
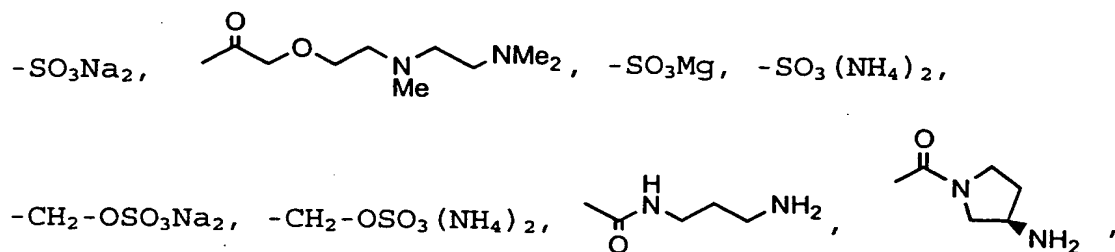


5

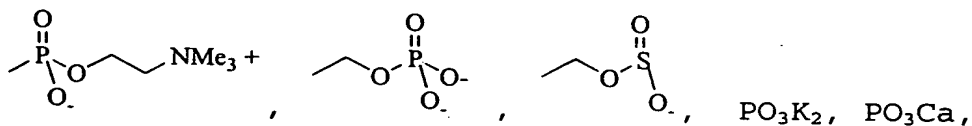


10 5. The compound according to claim 1, wherein at least one R⁷ is selected from:



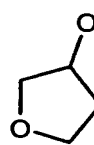
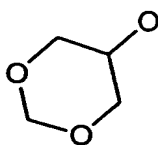
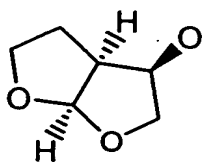


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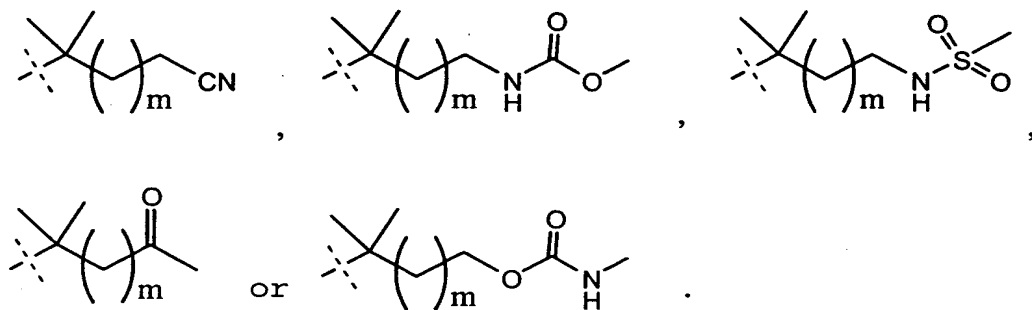


PO₃-spermine, PO₃-(spermidine)₂ or PO₃-(meglamine)₂.

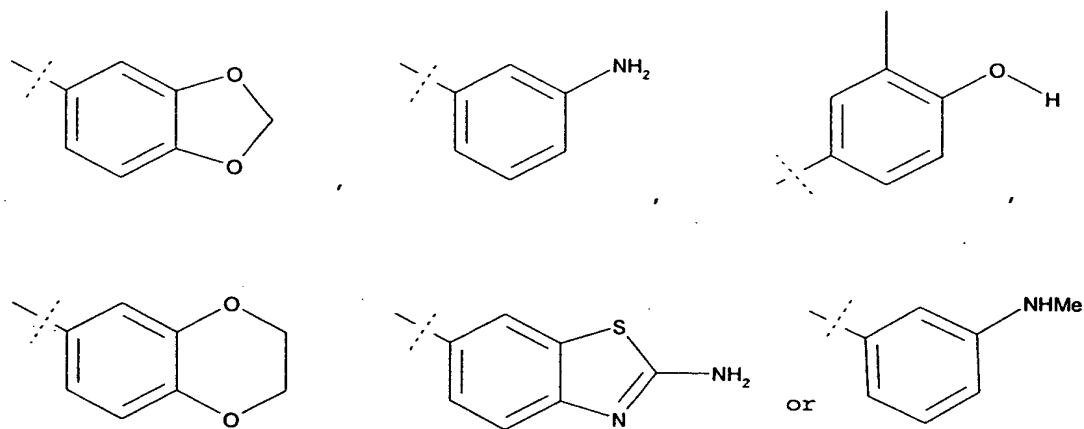
- 15 6. The compound according to claim 1, wherein:
 A is R'-C(O), wherein R' is selected from -C₁-C₆ alkyl,



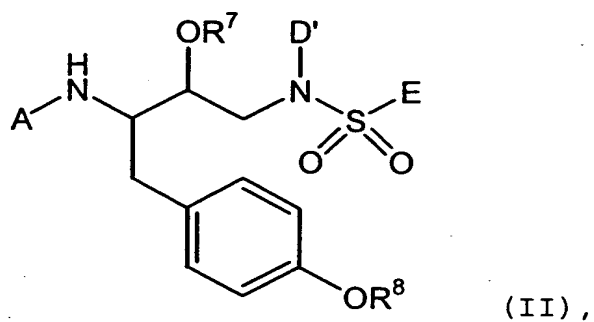
5 isobutyl,



8. The compound according to claim 1, wherein:
10 E is selected from:

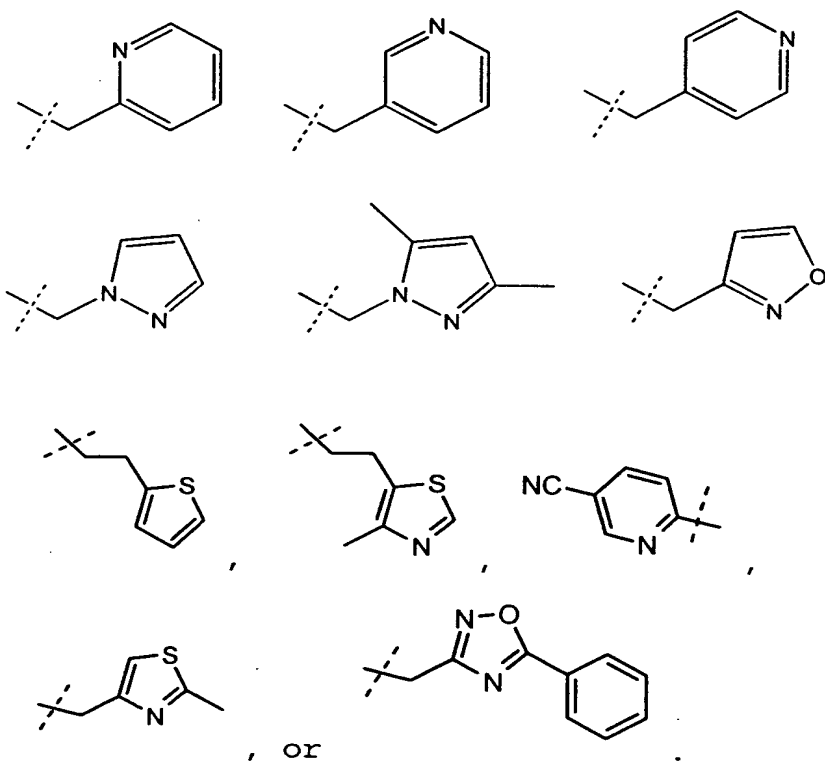


9. The compound according to claim 1, having the formula (II):

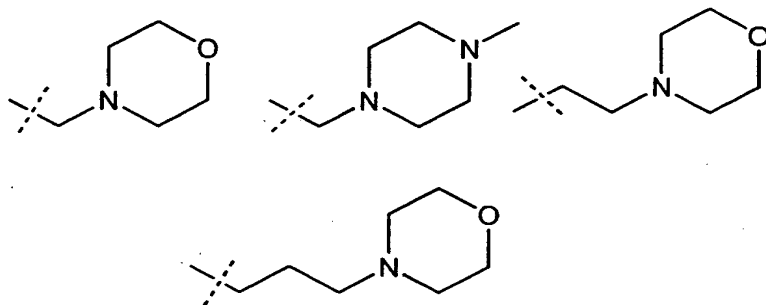


wherein A, R⁷, D', R⁸ and E are as defined in claim 1.

- 5 10. The compound according to claim 9, wherein R⁸ is selected from:

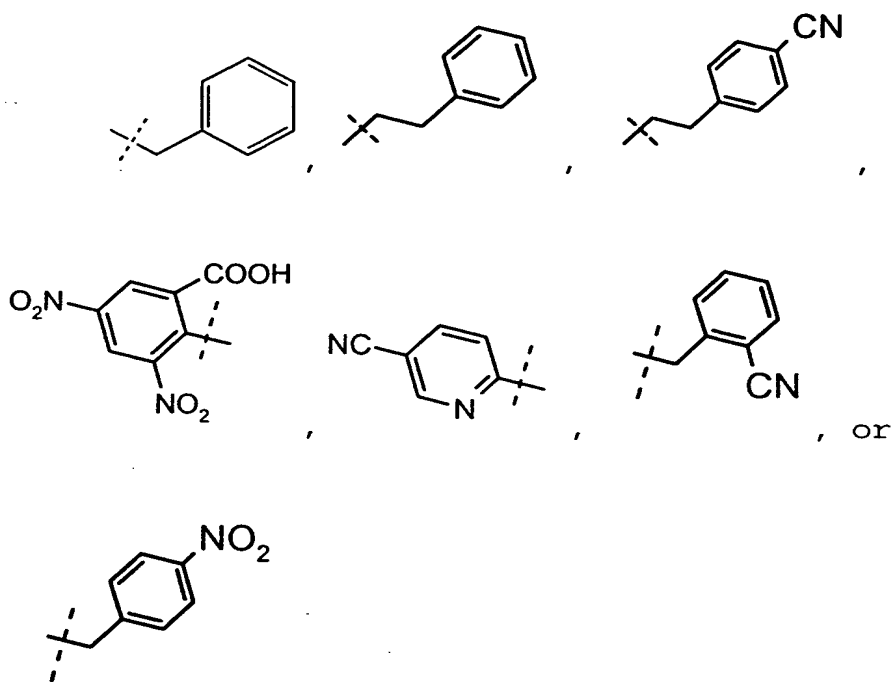


11. The compound according to claim 9, wherein R⁸ is selected from:



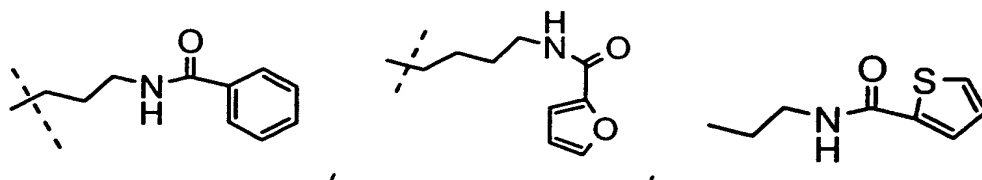
12. The compound according to claim 9, wherein R^8 is selected from:

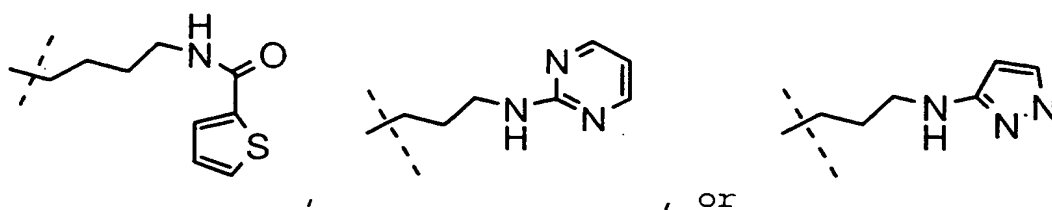
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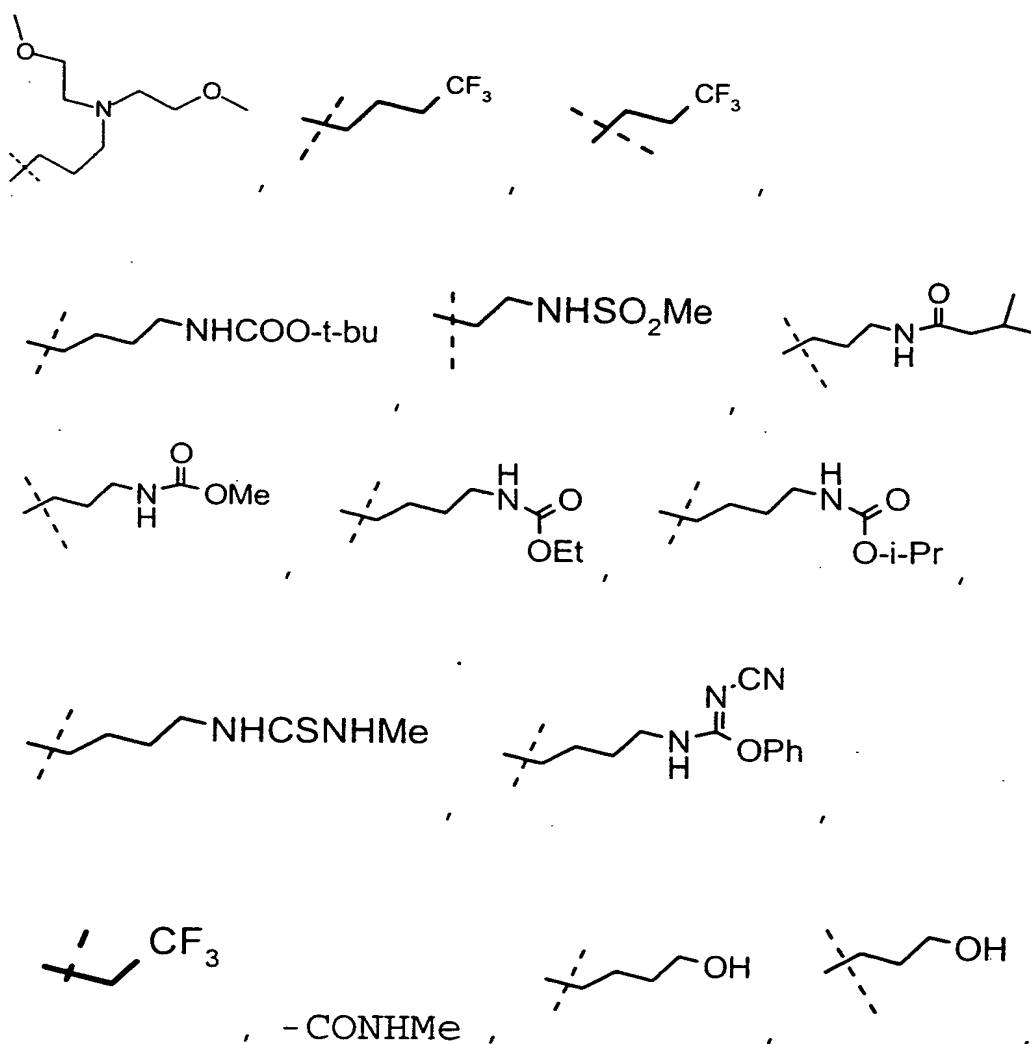
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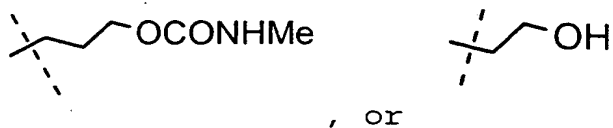
13. The compound according to claim 9, wherein R^8 is selected from:





14. The compound according to claim 9, wherein R⁸ is
5 selected from:





15. The compound according to claim 9, wherein said compound is selected from compound numbers: 18, 19, 20,
5 22, 24, 25, 26, 27, 31, 33, 35, 36, 38, 41, 43, 48, 49,
51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 68, 69, 71, 72,
73, 74, 202-204, 209, 213, 215, 217, 223, 227, 231, 233,
236, 237, 239, 243, 247, 250, 260, 263, 271, 281, 289,
293, 295, 304, 309, 317, 319, 320, 322, 334, 335, 348,
10 364, 367, 368, 375, 382, 383 and 396.

16. The compound according to claim 15, wherein said compound is selected from compound numbers: 26, 27,
31, 33, 35, 36, 38, 41, 43, 48, 49, 51, 52, 53, 54, 55,
15 56, 57, 58, 59, 60, 69, 71, 72, 73, 74, 209, 215, 227,
233, 237, 281, 289, 295, 304, 309, 322, 335, 364, 368,
382 and 383.

17. The compound according to claim 16, wherein
20 said compound is selected from: 54, 209, 237, 281, 295,
309, 367 and 368.

18. A composition comprising a compound according to any one of claims 1 to 17, in an amount sufficient to
25 inhibit an aspartyl protease; and a pharmaceutically acceptable carrier.

19. The composition according to claim 18, wherein said composition is in a pharmaceutically acceptable form
30 for administration to a human being.

20. The composition according to claim 18, wherein said composition additionally comprises an additional anti-viral agent.

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21. The composition according to claim 18, wherein said composition comprises at least one additional therapeutic agent selected from (1 alpha, 2 beta, 3 alpha)-9-[2,3-bis(hydroxymethyl)cyclobutyl]- guanine ((-)BHCG, SQ-34514); oxetanocin-G (3,4-bis-(hydroxymethyl)- 2-oxetanosyl]guanine); acyclic nucleosides, such as acyclovir, valaciclovir, famciclovir, ganciclovir or penciclovir; acyclic nucleoside phosphonates, such as (S)-1-(3-hydroxy-2-phosphonyl-methoxypropyl)cytosine (HPMPC); ribonucleotide reductase inhibitors, such as 2- acetylpyridine 5-[(2-chloroanilino)thiocarbonyl] thiocarbonohydrazone, 3'-azido-3'-deoxythymidine; other 2',3'-dideoxynucleosides such as 2',3'-dideoxycytidine, 2',3'-dideoxyadenosine, 2',3'-dideoxyinosine, or 2',3'- didehydrothymidine; other aspartyl protease inhibitors, such as indinavir, ritonavir, nelfinavir, or [3S-[3R*(1R*, 2S*)]]-[3[[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-tetrahydro-3-furanyl ester (amprenavir); oxathiolane nucleoside analogues, such as (-)-cis-1-(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-cytosine (lamivudine) or cis-1-(2-(hydroxymethyl)-1,3-oxathiolan-5-yl)-5-fluorocytosine (FTC); 3'-deoxy-3'-fluorothymidine; 5-chloro-2',3'-dideoxy-3'-fluorouridine; (-)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol; ribavirin; 9-[4-hydroxy-2-(hydroxymethyl)but-1-yl]-guanine (H2G); tat inhibitors,

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such as 7-chloro-5-(2-pyrryl)-3H-1,4-benzodiazepin-2-(H)one (Ro5-3335) or 7-chloro-1,3-dihydro-5-(1H-pyrrol-2yl)-3H-1,4-benzodiazepin-2-amine (Ro24-7429);

interferons, such as α -interferon; renal excretion

5 inhibitors such as probenecid; nucleoside transport

inhibitors such as dipyridamole; pentoxifylline; N-

acetylcysteine (NAC); Procysteine; α -trichosanthin;

phosphonoformic acid; immunomodulators, such as

interleukin II or thymosin; granulocyte macrophage colony

10 stimulating factors; erythropoetin; soluble CD₄ and

genetically engineered derivatives thereof; non-

nucleoside reverse transcriptase inhibitors (NNRTIs),

such as nevirapine (BI-RG-587), zidovudine (α -AZT) or

delaviridine (BHAP); phosphonoformic acid; 1,4-dihydro-

15 2H-3,1-benzoxazin-2-ones NNRTIs, such as (-)-6-chloro-4-

cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-

benzoxazin-2-one (L-743,726 or DMP-266); or quinoxaline

NNRTIs, such as isopropyl (2S)-7-fluoro-3,4-dihydro-2-

ethyl-3-oxo-1(2H)-quinoxalinecarboxylate (HBY1293).

20

22. The composition according to any one of claims 18-21, wherein said composition is in an orally available dosage form.

25

23. A method of treating a patient infected with a virus that depends upon an aspartyl protease for an obligatory event in its life cycle comprising the step of administering to said patient a composition according to claim 18.

30

24. A method of treating a patient infected with HIV-I or HIV-II comprising the step of administering to

said patient a composition according to claim 18.

25. The method according to claim 23 or 24,
comprising the additional step of administering to said
5 patient an additional therapeutic agent selected from (1
alpha, 2 beta, 3 alpha)-9-[2,3-bis(hydroxymethyl)
cyclobutyl]guanine [(-)BHCG, SQ-34514]; oxetanocin-G
(3,4-bis-(hydroxymethyl)-2-oxetanosyl]guanine); acyclic
nucleosides, such as acyclovir, valaciclovir,
10 famciclovir, ganciclovir or penciclovir; acyclic
nucleoside phosphonates, such as (S)-1-(3-hydroxy-2-
phosphonyl-methoxypropyl)cytosine (HPMPC); ribonucleotide
reductase inhibitors, such as 2-acetylpyridine 5-[(2-
chloroanilino)thiocarbonyl) thiocarbonohydrazone,
15 3'-azido-3'-deoxythymidine; other 2',3'-dideoxynucleosides
such as 2',3'-dideoxycytidine, 2',3'-dideoxyadenosine,
2',3'-dideoxyinosine, or 2',3'-didehydrothymidine; other
aspartyl protease inhibitors, such as indinavir,
ritonavir, nelfinavir, or [3S-[3R*(1R*, 2S*)]]-[3[[[4-
20 aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-
(phenylmethyl)propyl]-tetrahydro-3-furanyl ester
(amprenavir); oxathiolane nucleoside analogues, such as
(-)-cis-1-(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-
cytosine (lamivudine) or cis-1-(2-(hydroxymethyl)-1,3-
25 oxathiolan-5-yl)-5-fluorocytosine (FTC); 3'-deoxy-3'-
fluorothymidine; 5-chloro-2',3'-dideoxy-3'-fluorouridine;
(-)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-
cyclopentene-1-methanol; ribavirin; 9-[4-hydroxy-2-
(hydroxymethyl)but-1-yl]-guanine (H2G); tat inhibitors,
30 such as 7-chloro-5-(2-pyrryl)-3H-1,4-benzodiazepin-2-
(H)one (Ro5-3335) or 7-chloro-1,3-dihydro-5-(1H-pyrrol-
2yl)-3H-1,4-benzodiazepin-2-amine (Ro24-7429);

interferons, such as α -interferon; renal excretion inhibitors such as probenecid; nucleoside transport inhibitors such as dipyridamole; pentoxifylline; N-acetylcysteine (NAC); Procysteine; α -trichosanthin;
5 phosphonoformic acid; immunomodulators, such as interleukin II or thymosin; granulocyte macrophage colony stimulating factors; erythropoietin; soluble CD₄ and genetically engineered derivatives thereof; non-nucleoside reverse transcriptase inhibitors (NNRTIs),
10 such as nevirapine (BI-RG-587), zidovudine (α -AZT) or delamanid (BAP); phosphonoformic acid; 1,4-dihydro-2H-3,1-benzoxazin-2-ones NNRTIs, such as (-)-6-chloro-4-cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one (L-743,726 or DMP-266); or quinoxaline
15 NNRTIs, such as isopropyl (2S)-7-fluoro-3,4-dihydro-2-ethyl-3-oxo-1(2H)-quinoxalinecarboxylate (HBV1293), wherein said additional agent is administered to said patient as either a separate dosage form or as a single dosage form together with said compound.

20
26. A method of treating a patient diagnosed with AIDS; AIDS related complex (ARC); progressive generalized lymphadenopathy (PGL); Kaposi's sarcoma, thrombocytopenic purpura; AIDS-related neurological conditions such as
25 AIDS dementia complex, multiple sclerosis or tropical paraperesis; anti-HIV antibody-positive conditions; or HIV-positive conditions, comprising the step of administering to said patient a composition according to claim 18.

30
27. The method according to claim 26, comprising the additional step of administering to said patient an

additional therapeutic agent selected from (1 alpha, 2
beta, 3 alpha)-9-[2,3-bis(hydroxymethyl)
cyclobutyl]guanine [(-)BHCG, SQ-34514]; oxetanocin-G
(3,4-bis-(hydroxymethyl)-2-oxetanosyl]guanine); acyclic
5 nucleosides, such as acyclovir, valaciclovir,
famciclovir, ganciclovir or penciclovir; acyclic
nucleoside phosphonates, such as (S)-1-(3-hydroxy-2-
phosphonyl-methoxypropyl)cytosine (HPMPC); ribonucleotide
reductase inhibitors, such as 2-acetylpyridine 5-[(2-
10 chloroanilino)thiocarbonyl) thiocarbonohydrazone,
3'-azido-3'-deoxythymidine; other 2',3'-dideoxynucleosides
such as 2',3'-dideoxycytidine, 2',3'-dideoxyadenosine,
2',3'-dideoxyinosine, or 2',3'-didehydrothymidine; other
aspartyl protease inhibitors, such as indinavir,
15 ritonavir, nelfinavir, or [3S-[3R*(1R*, 2S*)]]-[3[[[4-
aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-
(phenylmethyl)propyl]-tetrahydro-3-furanyl ester
(amprenavir); oxathiolane nucleoside analogues, such as
(-)-cis-1-(2-hydroxymethyl)-1,3-oxathiolane 5-yl)-
20 cytosine (lamivudine) or cis-1-(2-(hydroxymethyl)-1,3-
oxathiolan-5-yl)-5-fluorocytosine (FTC); 3'-deoxy-3'-
fluorothymidine; 5-chloro-2',3'-dideoxy-3'-fluorouridine;
(-)-cis-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-
cyclopentene-1-methanol; ribavirin; 9-[4-hydroxy-2-
25 (hydroxymethyl)but-1-yl]-guanine (H2G); tat inhibitors,
such as 7-chloro-5-(2-pyrryl)-3H-1,4-benzodiazepin-2-
(H)one (Ro5-3335) or 7-chloro-1,3-dihydro-5-(1H-pyrrol-
2-yl)-3H-1,4-benzodiazepin-2-amine (Ro24-7429);
interferons, such as α -interferon; renal excretion
30 inhibitors such as probenecid; nucleoside transport
inhibitors such as dipyridamole; pentoxifylline; N-
acetylcysteine (NAC); Procysteine; α -trichosanthin;

phosphonoformic acid; immunomodulators, such as interleukin II or thymosin; granulocyte macrophage colony stimulating factors; erythropoetin; soluble CD₄ and genetically engineered derivatives thereof; non-
5 nucleoside reverse transcriptase inhibitors (NNRTIs), such as nevirapine (BI-RG-587), zidovudine (α -APA) or delaviridine (BHAP); phosphonoformic acid; 1,4-dihydro-2H-3,1-benzoxazin-2-ones NNRTIs, such as (-)-6-chloro-4-cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-
10 benzoxazin-2-one (L-743,726 or DMP-266); or quinoxaline NNRTIs, such as isopropyl (2S)-7-fluoro-3,4-dihydro-2-ethyl-3-oxo-1(2H)-quinoxalinecarboxylate (HBY1293), wherein said additional agent is administered to said patient as either a separate dosage form or as a single
15 dosage form together with said compound.